

10/525,985

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STN-Structure Search  
7/18/07

L4 ANSWER 1 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:397591 CAPLUS

DOCUMENT NUMBER: 147:45234

TITLE: Aporphine metho salts as neuronal nicotinic acetylcholine receptor blockers

AUTHOR(S): Iturriaga-Vasquez, Patricio; Perez, Edwin G.; Slater, E. Yvonne; Bermudez, Isabel; Cassels, Bruce K.

CORPORATE SOURCE: Department of Chemistry, Faculty of Sciences, University of Chile, Santiago, Chile

SOURCE: Bioorganic & Medicinal Chemistry (2007), 15(10), 3368-3372

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB (S)-Aporphine metho salts with the 1,2,9,10 oxygenation pattern displaced radioligands from recombinant human  $\alpha 7$  and  $\alpha 4\beta 2$  neuronal nicotinic acetylcholine receptors (nAChR) at low micromolar concns. The affinity of the nonphenolic glaucine methiodide (4) (vs [3H]cytisine) was the lowest at  $\alpha 4\beta 2$  nAChR ( $K_i = 10 \mu M$ ), and prediccenrine methiodide (2) and xanthoplanine iodide (3), with free hydroxyl groups at C-2 or C-9, resp., had the highest affinity at these receptors ( $K_i \approx 1 \mu M$ ), while the affinity of the diphenolic boldine methiodide (1) was intermediate between these values. At homomeric  $\alpha 7$  nAChR, xanthoplanine had the highest affinity ( $K_i = 10 \mu M$ ) vs [125I] $\alpha$ -bungarotoxin while the other three compds. displaced the radioligand with  $K_i$  values between 15 and 21  $\mu M$ . At 100  $\mu M$ , all four compds. inhibited the responses of these receptors to EC50 concns. of ACh. The effects of xanthoplanine iodide (3) were studied in more detail. Xanthoplanine fully inhibited the EC50 ACh responses of both  $\alpha 7$  and  $\alpha 4\beta 2$  nACh receptors with estimated IC50 values of  $9 \pm 3 \mu M$  ( $\alpha 7$ ) and  $5 \pm 0.8 \mu M$  ( $\alpha 4\beta 2$ ).

IT 5890-26-6P, Xanthoplanine iodide

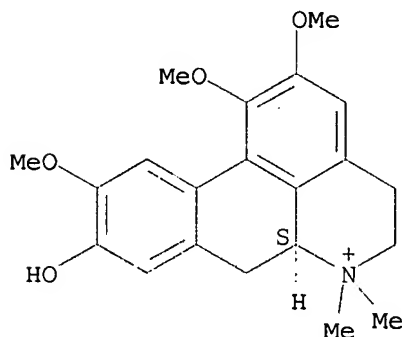
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(aporphine metho salts as neuronal nicotinic receptor blockers)

RN 5890-26-6 CAPLUS

CN 4H-Dibenzo[de,g]quinolinium, 5,6,6a,7-tetrahydro-9-hydroxy-1,2,10-trimethoxy-6,6-dimethyl-, iodide, (6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



10/525,985

SOURCE: Atherosclerosis (Amsterdam, Netherlands) (2004),  
173(2), 203-210  
CODEN: ATHSBL; ISSN: 0021-9150  
PUBLISHER: Elsevier  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB A corollary to the oxidation hypothesis of atherosclerosis is that the consumption of antioxidants is beneficial. However, the literature is divided in support of this conclusion. In this study, Boldine, an alkaloid of *Peumus boldus* and reduced form of RU486, was tested for their antioxidant potency both in, in vitro oxidation system and in mouse models. Boldine decreased the ex-vivo oxidation of low-d. lipoprotein (LDL). Two different in vivo studies were performed to study the effect of these compds. on the atherosclerotic lesion formation in LDLR-/- mice. In study I, three groups of LDLR-/- mice (N=12 each) were fed an atherogenic diet. Group 1 was given vehicle and group 2 and 3 were given 1 mg of Boldine or Red RU per day for 12 wk. In study II, two groups of LDLR-/- mice (N=10 each) were fed an atherogenic diet. Group 1 was given vehicle and group 2 was given 5 mg of Boldine per day. The results indicated that there was a decrease in lesion formation reaching a 40% reduction due to Boldine and 45% reduction by Red RU compared to controls. The in vivo tolerance of Boldine in humans (has been used as an herbal medicine in other diseases) should make it an attractive alternative to Vitamin E.

IT 476-70-0, Boldine

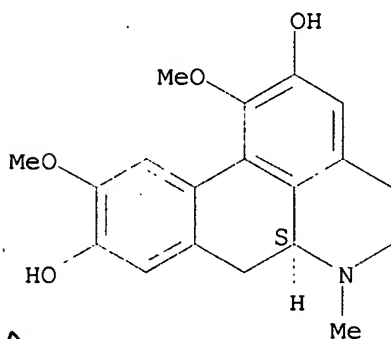
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(a novel alkaloid antioxidant, Boldine and synthetic antioxidant, reduced form of RU486, inhibit the oxidation of LDL in-vitro and atherosclerosis in vivo in LDLR-/- mice)

RN 476-70-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, (6aS)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:181940 CAPLUS

DOCUMENT NUMBER: 140:235926

TITLE: Preparation of new noraporphine derivatives for use in cosmetic and dermopharmaceutic compositions

INVENTOR(S): Lintner, Karl

PATENT ASSIGNEE(S): Sederma Sa, Fr.

SOURCE: Fr. Demande, 32 pp.

CODEN: FRXXBL

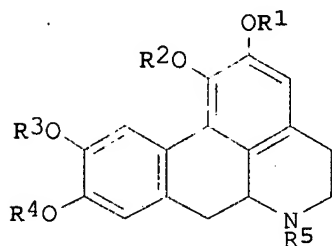
DOCUMENT TYPE: Patent

LANGUAGE: French

10/525,985

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2843963	A1	20040305	FR 2002-10810	20020830
FR 2843963	B1	20041022		
WO 2004024695	A1	20040325	WO 2003-FR2400	20030729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003288304	A1	20040430	AU 2003-288304	20030729
EP 1534682	A1	20050601	EP 2003-780203	20030729
EP 1534682	B1	20061227		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005537332	T	20051208	JP 2004-535571	20030729
US 2006110413	A1	20060525	US 2005-525985	20050915
PRIORITY APPLN. INFO.:			FR 2002-10810	A 20020830
			WO 2003-FR2400	W 20030729
OTHER SOURCE(S):			MARPAT 140:235926	
GI				



I

AB The present invention relates to new derivs. I (R1, R2, R3, R4, R5 = H, alkyl, aryl, aralkyl, acyl, sulfonyl sugar) of noraporphine, their optical isomers, their mixts. and their cosmetically acceptable salts, it also relates to all the cosmetic and dermatopharmaceutic compns. which contain one or more these derivs., only or in partnership with an extract of plant, particularly the *Glaucium flavum*, and in particular the preps. having for objective a reduction in the pigmentation, an anti-age effect, or thinning. Thus, 2,9-diacetoxy-1,10-dimethoxy-6-methylnoraporphine [I; R1 = R4 = Ac, R2 = R3 = R5 = Me; Ac = COMe] was prepared from 2,9-dihydroxy-1,10-dimethoxy-6-methylnoraporphine (I; R1 = R4 = H, R2 = R3 = R5 = Me) via acetylation with Ac2O in CH2Cl2 containing EtN(CHMe2)2. I (R1 = R4 = Ac, R2 = R3 = R5 = Me) was tested for its ability to inhibit lipid peroxidn. [100% @ 0.15 mmol/L] and glycerol-3-phosphate dehydrogenase [76% @ 0.09 mmol/L]. A day cream formulation containing I (R1 = R4 = Ac, R2 = R3 = R5 = Me) is described.

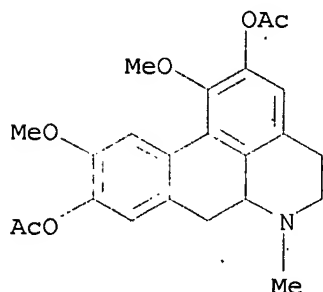
IT 73951-75-4P, 2,9-Diacetoxy-1,10-dimethoxy-6-methylnoraporphine  
 RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
 PREP (Preparation); USES (Uses)

10/525,985

(preparation and bioactivity of new noraporphine derivs. for use in cosmetic and dermopharmaceutic compns.)

RN 73951-75-4 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, diacetate (ester) (9CI) (CA INDEX NAME)



IT 5630-11-5, 1,2,9,10-Tetramethoxy-6-methylnoraporphine

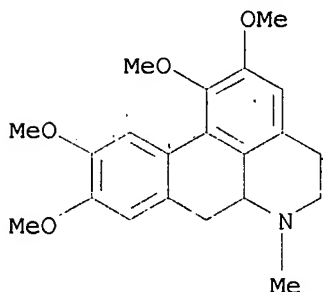
38849-65-9, 1,2,10-Trimethoxy-9-hydroxy-6-methylnoraporphine

RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation and bioactivity of new noraporphine derivs. for use in cosmetic and dermopharmaceutic compns.)

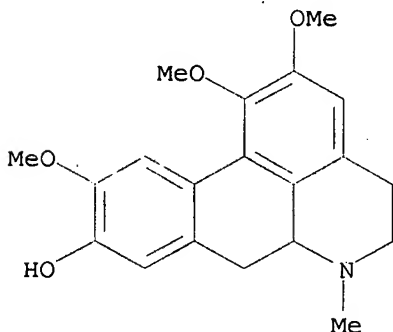
RN 5630-11-5 CAPLUS

CN 4H-Dibenzo[de,g]quinoline, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl- (9CI) (CA INDEX NAME)



RN 38849-65-9 CAPLUS

CN 4H-Dibenzo[de,g]quinolin-9-ol, 5,6,6a,7-tetrahydro-1,2,10-trimethoxy-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:296061 CAPLUS

DOCUMENT NUMBER: 138:297701

TITLE: Transmucosal administration of phosphodiesterase inhibitors for the treatment of erectile dysfunction

INVENTOR(S): Doherty, Paul C., Jr.; Place, Virgil A.; Smith, William L.

PATENT ASSIGNEE(S): Vivus, Inc., USA

SOURCE: U.S., 13 pp., Cont.-in-part of U.S. 6,037,346.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6548490	B1	20030415	US 1999-467094	19991210
US 6037346	A	20000314	US 1998-181070	19981027
CA 2394060	A1	20010614	CA 2000-2394060	20001208
WO 2001041807	A2	20010614	WO 2000-US33372	20001208
WO 2001041807	A3	20020214		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 200122566	A	20010618	AU 2001-22566	20001208
EP 1237577	A2	20020911	EP 2000-986297	20001208

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

JP 2003516363	T	20030513	JP 2001-543151	20001208
US 2002037828	A1	20020328	US 2001-888250	20010621
US 6403597	B2	20020611		
US 2002004498	A1	20020110	US 2001-938417	20010823
US 2003134861	A1	20030717	US 2003-351198	20030124
AU 2005248938	A1	20060202	AU 2005-248938	20051223

PRIORITY APPLN. INFO.:

US 1997-958816	B2	19971028
US 1998-181070	A2	19981027
US 1999-467094	A	19991210
AU 2001-22566	A3	20001208
WO 2000-US33372	W	20001208

AB A method is provided for treating erectile dysfunction in a mammalian male individual. The method involves the transmucosal administration of a phosphodiesterase inhibitor or a pharmaceutically acceptable salt, ester, amide or derivative thereof, within the context of an effective dosing regimen. Preferred modes of administration include transbuccal, sublingual and transrectal routes. Pharmaceutical formulations and kits are provided as well.

IT 475-81-0, S-(+)-Glaucine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

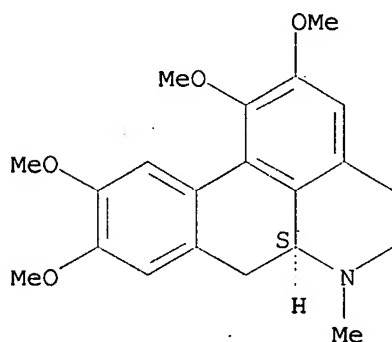
(transmucosal administration of phosphodiesterase inhibitors for the treatment of erectile dysfunction)

RN 475-81-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-

methy1-, (6aS)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 71 THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:126649 CAPLUS

DOCUMENT NUMBER: 139:224248

TITLE: Effects of some antioxidative aporphine derivatives on striatal dopaminergic transmission and on MPTP-induced striatal dopamine depletion in B6CBA mice

AUTHOR(S): Loghin, Felicia; Chagraoui, Abdeslam; Asencio, Marcelo; Comoy, Etienne; Speisky, Hernan; Cassels, Bruce K.; Protais, Philippe

CORPORATE SOURCE: Faculty of Pharmacy, Toxicology Laboratory, University of Medicine and Pharmacy, Cluj-Napoca, 3400, Rom.

SOURCE: European Journal of Pharmaceutical Sciences (2003), 18(2), 133-140

CODEN: EPSCED; ISSN: 0928-0987

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

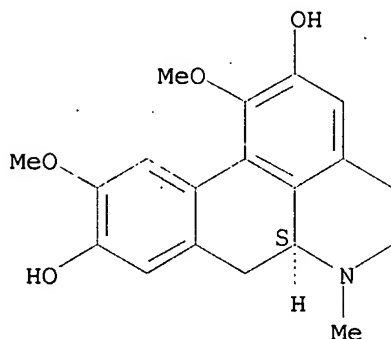
AB (S)-(+)-boldine, an aporphine alkaloid displaying antioxidative and dopaminergic properties, and six of its derivs. (glaucine, 3-bromoboldine, 3-iodoboldine, 8-aminoboldine, 8-nitrosoboldine and 2,9-O,O'-dipivaloylboldine) were tested for these properties in comparison with their parent compound. All the tested compds. displayed in vitro antioxidative properties equal to or slightly weaker than those of boldine, and equal to or stronger than (±)-6-hydroxy-2,5,7,8,-tetramethylchromane-2-carboxylic acid (Trolox), a water-soluble vitamin E analog, used as a reference compound. All the aporphine compds. tested displaced

[3H]SCH 23390 and [3H]raclopride from their specific binding sites in rat striatum. When tested on dopamine (DA) metabolism in the striatum of B6CBA mice, all the compds., except 8-aminoboldine, increased striatal levels of DOPAC and HVA, and the HVA/DA ratio, indicating that they cross the blood-brain barrier and that they seem to act as dopamine antagonists in vivo. B6CBA mice were sensitive to the neurotoxic action of MPTP on dopaminergic neurons as indicated by the strongly decreased striatal levels of DA, DOPAC and HVA following administration of MPTP (20 mg/kg, i.p.). Among these aporphine derivs., only 3-bromoboldine was able to reduce the MPTP-induced decrease of striatal levels of DA and DOPAC, whereas (R)-apomorphine (5 mg/kg, s.c.) and acetylsalicylic acid (100 mg/kg, i.p.), used as reference compds., were very active. These data suggest that potent in vitro antioxidative properties and the ability to cross the blood-brain barrier are not sufficient criteria to predict the inhibition of neuronal degeneration induced by MPTP.

10/525,985

IT 476-70-0, (S)-(+)-Boldine  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(effects of antioxidative aporphine derivs. on striatal dopaminergic  
neurotransmission and on MPTP-induced striatal dopamine depletion in  
B6CBA mice)  
RN 476-70-0 CAPLUS  
CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-  
methyl-, (6aS)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:915977 CAPLUS

DOCUMENT NUMBER: 138:299874

TITLE: Protection against UVB irradiation by natural filters  
extracted from lichens

AUTHOR(S): Rancan, Fiorenza; Rosan, Stefania; Boehm, Kirsten;  
Fernandez, Ernesto; Hidalgo, M. Eliana; Quihot, Wanda;  
Rubio, Cecilia; Boehm, Fritz; Piazena, Helmut;  
Oltmanns, Ute

CORPORATE SOURCE: Department of Dermatology, Humboldt University  
(Charite), Berlin, 10117, Germany

SOURCE: Journal of Photochemistry and Photobiology, B: Biology  
(2002), 68(2-3), 133-139  
CODEN: JPPBEG; ISSN: 1011-1344

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Natural substances extracted from lichens and boldo tree were tested in vivo  
and in vitro as possible UV-light filters. The protection factors were  
compared with that found for the refs.: Nivea sun Spray LSF 5,  
octylmethoxycinnamate (OMC) and 4-tert.-butyl-4'-methoxy dibenzoylmethane  
(BM-DBM). The stability of the single compds. was studied through UV-Vis  
spectroscopy. Usnic acid resulted to be the best UVB filter, with an in  
vivo protection factor similar to Nivea sun Spray LSF 5. Most of the  
single compds. studied in vitro resulted to have higher or similar  
filtering power than octylmethoxycinnamate. The protection factors as  
well as the good UV-light absorption of their photo-products suggest that  
these natural substances may be useful as new filters in sun-screen  
preps.

IT 476-70-0, Boldine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

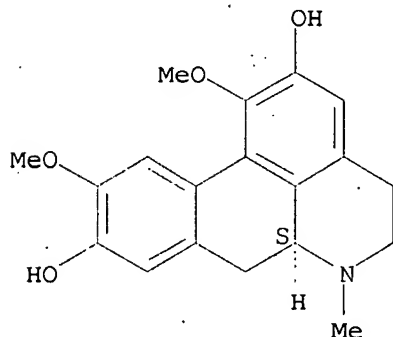
(natural substances extracted from lichens and boldo tree photoprotectant  
effect)

10/525,985

RN 476-70-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, (6aS)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:754415 CAPLUS

DOCUMENT NUMBER: 137:263304

TITLE: Synthesis of peptides and medical uses of intracellular communication facilitating compounds.

INVENTOR(S): Larsen, Bjarne Due; Petersen, Jorgen Soberg; Meier, Eddie; Kjolbye, Anne Louise; Jorgensen, Niklas Rye; Nielsen, Morten Schak; Holstein-Rathlou, Niels-Henrik; Martins, James B.

PATENT ASSIGNEE(S): Zealand Pharmaceuticals A/S, Den.

SOURCE: PCT Int. Appl., 233 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002077017	A2	20021003	WO 2002-US5773	20020222
WO 2002077017	A3	20031009		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2001062775	A2	20010830	WO 2001-DK127	20010222
WO 2001062775	A3	20020131		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,			



BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2003092609	A1	20030515	US 2001-792286	20010222
CA 2439101	A1	20021003	CA 2002-2439101	20020222
AU 2002254033	A1	20021008	AU 2002-254033	20020222
EP 1370276	A2	20031217	EP 2002-723240	20020222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005506295	T	20050303	JP 2002-576275	20020222
BR 2002007476	A	20060124	BR 2002-7476	20020222
NZ 527571	A	20070223	NZ 2002-527571	20020222
CN 1988914	A	20070627	CN 2002-807402	20020222
NO 2003003641	A	20031020	NO 2003-3641	20030815
US 2005113293	A1	20050526	US 2003-646294	20030822
IN 2003DN01336	A	20050527	IN 2003-DN1336	20030822
US 2005075280	A1	20050407	US 2004-772774	20040204
PRIORITY APPLN. INFO.:				
			US 2001-792286	A 20010222
			WO 2001-DK127	A 20010222
			US 2001-314470P	P 20010823
			DK 2000-288	A 20000223
			DK 2000-738	A 20000504
			US 2000-251659P	P 20001206
			WO 2002-US5773	W 20020222

OTHER SOURCE(S): MARPAT 137:263304

AB The invention relates to novel peptides, including novel antiarrhythmic peptides of linear or cyclic structure, having improved stability in vitro and/or in vivo, to compns. comprising these peptides, and to uses of the peptides for the preparation of medicaments. The invention also relates to the use of compds. that facilitate the intercellular communication for the preparation of medicaments for the treatment of a range of diseases characterized in impaired intercellular gap junctional communication. The invention further relates to a method of treating diseases, such as bladder incontinence, disorders of alveolar tissue and bronchial tissue, impaired hearing due to diseases of the cochlea, endothelial lesions, diabetic retinopathy and diabetic neuropathy, ischemia of the central nervous system and spinal cord, dental tissue disorders including periodontal disease, kidney diseases leading to hypertension, and a method of preventing failures of bone marrow transplantation. Ac-D-Tyr-D-Pro-D-4Hyp-Gly-D-Ala-Gly-NH<sub>2</sub> (Hyp = hydroxyprolyl) was prepared by the solid-phase method and assayed for biol. activity. Graphs include those for relative cell-to-cell conductance, PI-turnover in neonatal rat cardiomyocytes, and ventricular APD<sub>90</sub> dispersion.

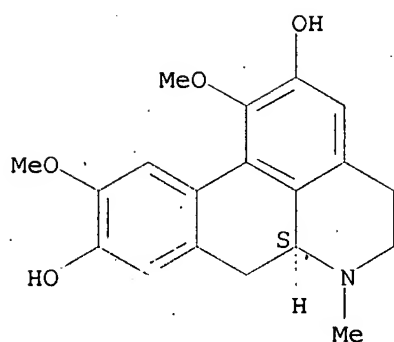
IT 476-70-0, Boldine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(synthesis of peptides and medical uses of intracellular communication facilitating compds.)

RN 476-70-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, (6aS)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 31 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:657924 CAPLUS

DOCUMENT NUMBER: 137:190400

TITLE: Novel cosmetic slimming compositions containing boldine

INVENTOR(S): Lintner, Karl

PATENT ASSIGNEE(S): Sederma, Fr.

SOURCE: PCT Int. Appl., 12 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066000	A2	20020829	WO 2002-FR487	20020207
WO 2002066000	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2820978	A1	20020823	FR 2001-2441	20010221
FR 2820978	B1	20040213		
AU 2002237366	A1	20020904	AU 2002-237366	20020207
PRIORITY APPLN. INFO.:			FR 2001-2441	A 20010221
			WO 2002-FR487	W 20020207

AB The invention relates to the use of boldine of any origin (synthesis, vegetable extraction, biotechnol., genetic engineering, etc.), on its own or combined with other active agents, in cosmetic or dermopharmaceutical compns. for the prevention of and/or slimming treatment for excess weight on the thighs and hips, the treatment of cellulite and skin toning.

IT 476-70-0, Boldine

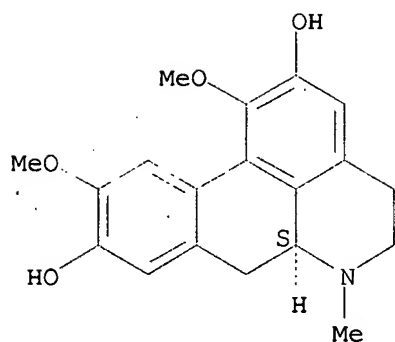
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(novel cosmetic slimming compns. containing boldine)

RN 476-70-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, (6aS)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 32 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:241329 CAPLUS

DOCUMENT NUMBER: 136:284433

TITLE: Administration of phosphodiesterase inhibitors for the treatment of premature ejaculation

INVENTOR(S): Wilson, Leland F.; Doherty, Paul C.; Place, Virgil A.; Smith, William L.; Abdel-Hamid, Abdou Ali Ibrahim Aboubakr

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 467,094.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

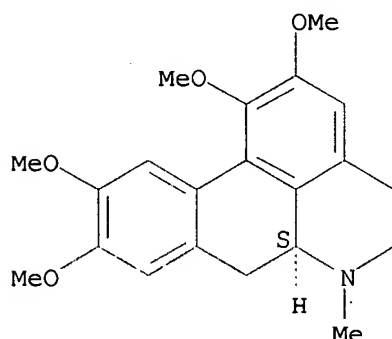
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002037828	A1	20020328	US 2001-888250	20010621
US 6403597	B2	20020611		
US 6037346	A	20000314	US 1998-181070	19981027
US 6548490	B1	20030415	US 1999-467094	19991210
CA 2451152	A1	20030103	CA 2002-2451152	20020325
WO 2003000343	A2	20030103	WO 2002-US9415	20020325
WO 2003000343	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, ÜZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002248712	A1	20030108	AU 2002-248712	20020325
EP 1418896	A2	20040519	EP 2002-717729	20020325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005519851	T	20050707	JP 2003-506984	20020325
AU 2005248938	A1	20060202	AU 2005-248938	20051223
PRIORITY APPLN. INFO.:				
			US 1997-958816	B2 19971028
			US 1998-181070	A2 19981027
			US 1999-467094	A2 19991210
			AU 2001-22566	A3 20001208
			US 2001-888250	A 20010621

10/525,985

IT 475-81-0, S-(+)-Glaucine  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(glaucine mechanism of bronchodilator and antiinflammatory activities)  
RN 475-81-0 CAPLUS  
CN 4H-Dibenzo[de,g]quinoline, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl-, (6aS)- (CA INDEX NAME)

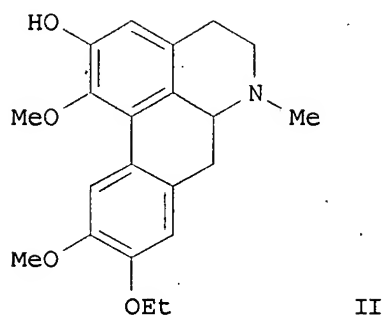
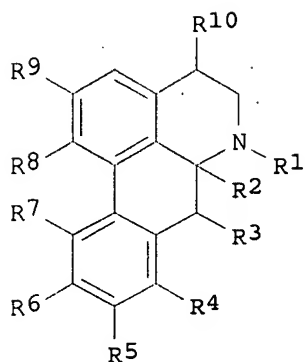
Absolute stereochemistry.



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

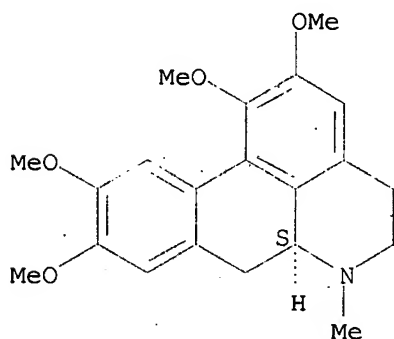
L4 ANSWER 48 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1999:233799 CAPLUS  
DOCUMENT NUMBER: 130:282215  
TITLE: Preparation of aporphinoid matrix metalloproteinase inhibitors  
INVENTOR(S): Krell, Hans-Willi; Grams, Frank; Brunner, Alfred  
PATENT ASSIGNEE(S): Roche Diagnostics G.m.b.H., Germany  
SOURCE: PCT Int. Appl., 26 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916441	A1	19990408	WO 1998-EP6123	19980926
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
ZA 9808782	A	20000327	ZA 1998-8782	19980925
AU 9897470	A	19990423	AU 1998-97470	19980926
PRIORITY APPLN. INFO.:			EP 1997-116778	A 19970926
			WO 1998-EP6123	W 19980926
OTHER SOURCE(S):		MARPAT 130:282215		
GI				



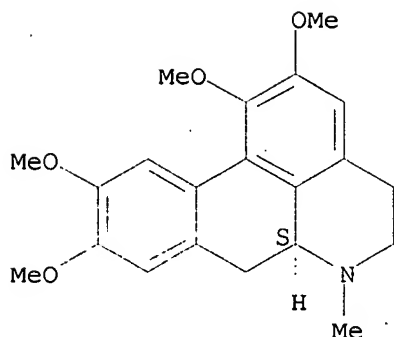
- AB Aporphine derivs. I [R1 = H, OH, acyl, halogen, alkyl; R2 = H, OH, CN, alkyl, acyl; R3, R4 = H, OH, acyl, halogen, alkyl; R3R4 = fused ring; R5, R6 = H, OH, SH, acyl, halogen, alkyl, alkoxy; R7 = H, OH, halogen, amino; R8 = H, OH, SH, acyl, halogen, alkyl; R9 = H, OH, SH, alkoxy, alkylthio; R8R9 = O-(CH<sub>2</sub>)<sub>n</sub>-O; n = 1, 2; R10 = H, OH, SH, acyl, halogen, amino, alkyl] were prepared as matrix metalloproteinase (MMP) inhibitors for the treatment of diseases where MMP activity is involved. Thus, aporphine II was prepared by reacting EtI with 1,10-dimethoxyaporphine-2,9-diol in DMF using K<sub>2</sub>CO<sub>3</sub>. Prepared compds. were tested for MMP-2, -3, -8, and -9 inhibitory activity.
- IT 475-81-0P, Glaucine 476-70-0P, Boldine  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (aporphinoid matrix metalloproteinase inhibitors)
- RN 475-81-0 CAPLUS
- CN 4H-Dibenzo[de,g]quinoline, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl-, (6aS)- (CA INDEX NAME)

Absolute stereochemistry.



- RN 476-70-0 CAPLUS
- CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, (6aS)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 86 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1969:2219 CAPLUS

DOCUMENT NUMBER: 70:2219

TITLE: Pharmacology of quaternary derivatives of the alkaloids corydine, glaucine, and thalicine

AUTHOR(S): Shakhabutdinova, Kh. S.; Kamilov, I. K.; Fakhrutdinov, S. F.

CORPORATE SOURCE: USSR

SOURCE: Farmakol. Alkaloidov Glikozidov (1967), 142-6.

Editor(s): Kamilov, I. K. Izd. "Fan" Uzb. SSR:

Tashkent, USSR.

CODEN: 20CEAM

DOCUMENT TYPE: Conference

LANGUAGE: Russian

AB The toxicity and some pharmacol. effects of the following quaternary derivs. of the alkaloids isolated from the plants, *Glaucium fimbriigerum* and *Thalictrum minus*, were examined: corydine iodoethylate (I), corydine iodoethylate (II), glaucine iodoethylate (III), glaucine iodoethylate (IV), and thalicmine iodoethylate (V). In mice, I, II, III, and IV brought about a decrease in motility, difficult breathing, and death due to cessation of respiratory movements. After s.c. administration, LD50 values were 2.81, 3.7, 59, and 400 mg./kg. for I-IV, resp. After an i.v. administration, LD50 values were 2.24, 3.25, 4.8, and 10.3 mg./kg. for I-IV, resp. In rabbits, I (0.1-2 mg./kg.), II (0.25-7 mg./kg.), III (1-13 mg./kg.), and V (1-10 mg./kg.) decreased the motility and brought about a relaxation of musculature. High doses of I, II, and III caused death due to respiratory halt. In dogs, I (1-4 mg./kg.), II (1-4 mg./kg.), III (0.01-2.0 mg./kg.), IV (0.01-2.0 mg./kg.), and V (0.1-2.0 mg./kg.) decreased the blood pressure by 15-70% for various periods of time; changes of respiration were not substantial. Generally, iodoethylates were more toxic and more effective in decreasing the blood pressure compared to the iodoethylates.

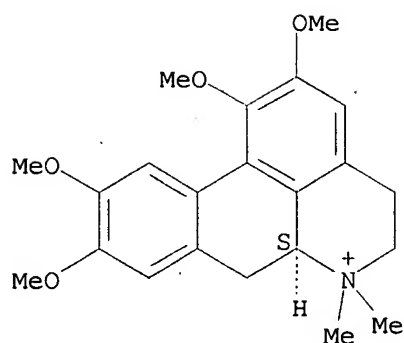
IT 2533-94-0 22267-73-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacology of)

RN 2533-94-0 CAPLUS

CN 4H-Dibenzo[de,g]quinolinium, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6,6-dimethyl-, iodide, (S)- (9CI) (CA INDEX NAME)

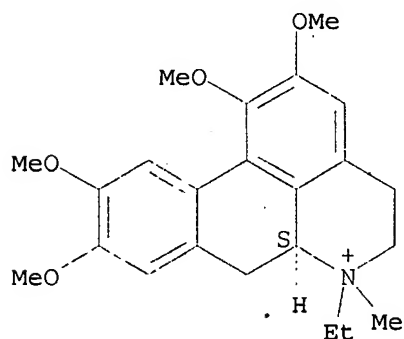
Absolute stereochemistry.



● I<sup>-</sup>

RN 22267-73-8 CAPLUS  
 CN 4H-Dibenzo[de,g]quinolinium, 6-ethyl-5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl-, iodide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● I<sup>-</sup>

L4 ANSWER 87 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1968:94521 CAPLUS  
 DOCUMENT NUMBER: 68:94521  
 TITLE: Comparative pharmacological investigation of some alkaloids of the aporphine group  
 AUTHOR(S): Berezhinskaya, V. V.; Aleshinskaya, E. E.; Aleshkina, Ya. A.  
 CORPORATE SOURCE: Vses. Nauch.-Issled. Inst. Lek. Rast., Moscow, USSR  
 SOURCE: Farmakologiya i Toksikologiya (Moscow) (1968), 31(1), 44-6  
 CODEN: FATOAO; ISSN: 0014-8318  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 AB Glaucine, bulbocapnine, corydine, and isocorydine all exhibited adrenolytic action in anesthetized cats and rabbits. Glaucine was the most active adrenolytic agent of the 4 aporphine alkaloids. Unlike the others, when administered in tolerable doses, glaucine exhibited strong antitussive properties but did not cause catalepsy. Glaucine was the only compound in this group which did not contain at least 1 free OH group, and

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its distinct pharmacol. action may be related to this mol. structural variation.

IT 475-81-0

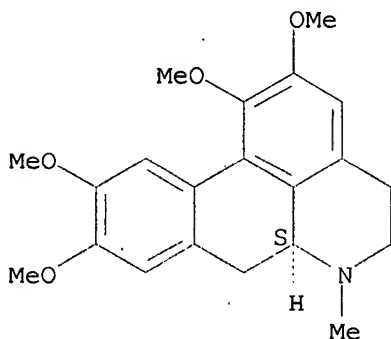
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sympatholytic activity of)

RN 475-81-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl-, (6aS)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 88 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1967:498890 CAPLUS

DOCUMENT NUMBER: 67:98890

TITLE: Additional pharmacological properties of some quaternary glaucine derivatives

AUTHOR(S): Donev, N.

SOURCE: Trudove na Nauchnoizsledovatel'skiya Khimikofarmatsevtichen Institut (1966), 5, 92-8  
CODEN: TKZGAG; ISSN: 0371-8972

DOCUMENT TYPE: Journal

LANGUAGE: Bulgarian

AB The pharmacol. effect was studied of glaucine.PrI (2,3,5,6-tetramethoxyaporphine.PrI) (I) and glaucine.PhCH<sub>2</sub>Cl (II) on respiration, autonomous nervous system, and smooth muscle in cats, rabbits, and mice. Aqueous solns. were injected i.v. The LD<sub>50</sub> of I was 0.25 and of II 0.24 g./kg. No effect on respiration was observed. The blood pressure fell by 50% at 0.0005 g./kg. of I or II. The pressor effect of adrenaline was potentiated. The hypotensive effect of atropine and the depressor effect of acetylcholine and vagus were considerably decreased. Mild spasmolytic activity on an isolated intestine was observed.

IT 17459-99-3 17460-00-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmacology of)

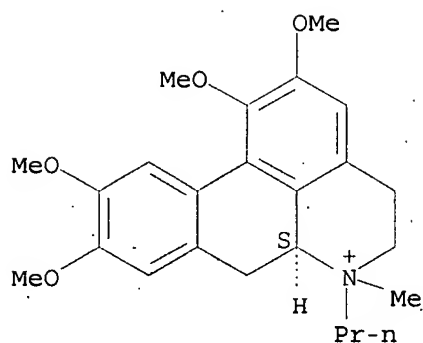
RN 17459-99-3 CAPLUS

CN 6a $\alpha$ -Aporphinium, 1,2,9,10-tetramethoxy-6-propyl-, iodide (8CI) (CA INDEX NAME)

Absolute stereochemistry.



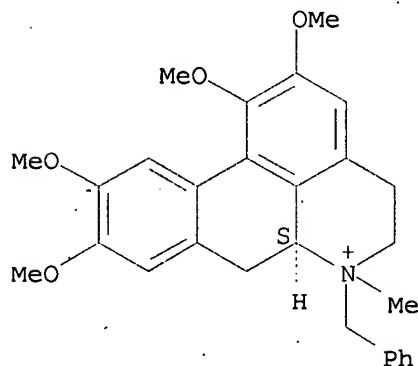
10/525,985



● I<sup>-</sup>

RN 17460-00-3 CAPLUS  
CN 6α-Aporphinium, 6-benzyl-1,2,9,10-tetramethoxy-, chloride (8CI)  
(CA INDEX NAME)

Absolute stereochemistry.



● Cl<sup>-</sup>

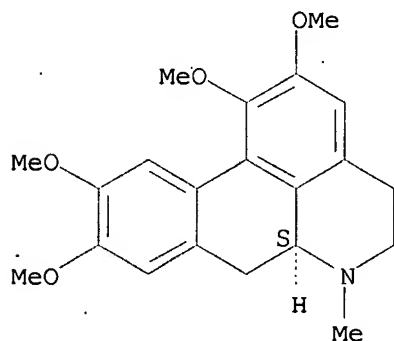
L4 ANSWER 89 OF 89 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1967:17903 CAPLUS  
DOCUMENT NUMBER: 66:17903  
TITLE: Pharmacology of the alkaloid glaucine  
AUTHOR(S): Aleshinskaya, E. E.; Berezhinskaya, V. V.  
CORPORATE SOURCE: All-Union Sci.-Res. Inst. Med. and Aromatic Plants,  
Moscow, USSR  
SOURCE: Farmakologiya i Toksikologiya (Moscow) (1966), 29(5),  
611-15  
CODEN: FATOAO; ISSN: 0014-8318  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
AB Glaucine, from Glaucium flavum, is adrenolytic in mice and cats at 0.02  
mg./kg. In addition to its antagonism to adrenaline it has antitussive  
properties.  
IT 475-81-0  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmacology of)

10/525,985

RN 475-81-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl-, (6aS)- (CA INDEX NAME)

Absolute stereochemistry.



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(FILE 'HOME' ENTERED AT 13:36:28 ON 10 JUL 2007)

FILE 'REGISTRY' ENTERED AT 13:36:49 ON 10 JUL 2007

L1 STRUCTURE UPLOADED

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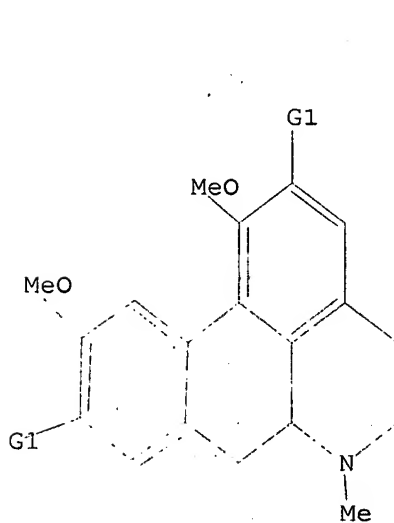
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L4 89 S L3/THU

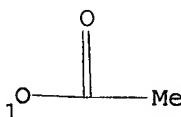
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L1 HAS NO ANSWERS

L1 STR



G1 OH,MeO, [01]



Structure attributes must be viewed using STN Express query preparation.